

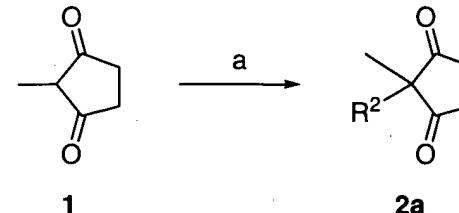


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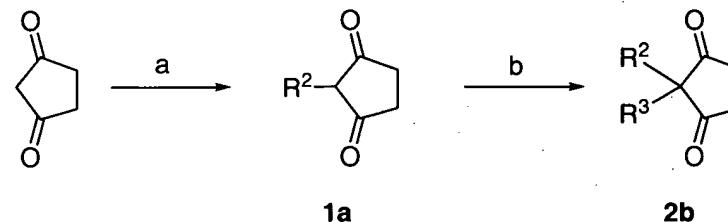
Serial No. 10/772,720, Applicants: Y. Dondi, et al.
Title: 10,10-DIALKYL PROSTANOIC ACID DERIVATIVES
AS AGENTS FOR LOWERING INTRAOCULAR PRESSURE
714,246,4348 Brent Johnson, ALLERGAN, INC.

Fig. 1

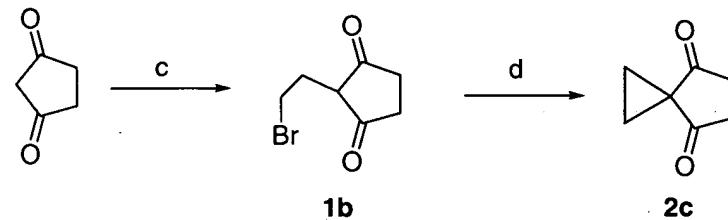
Equation 1



Equation 2



Equation 3

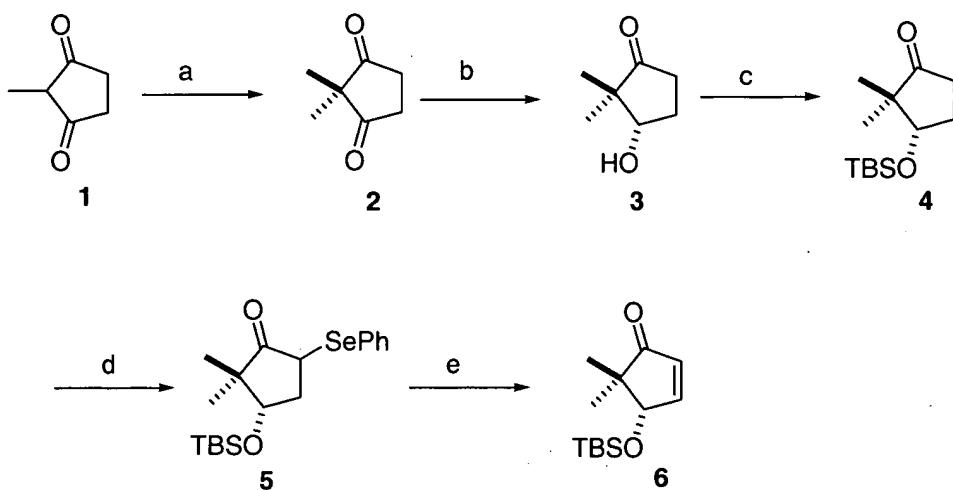


(a) KOH, I-R², dioxane/H₂O; (b) KOH, I-R³, dioxane/H₂O; (c) KOH, 1,2-dibromoethane, dioxane/H₂O; (d) KOH, dioxane/H₂O.

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SHEET 2 OF 8 DOCKET 17540CIP (AP)
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Fig. 2

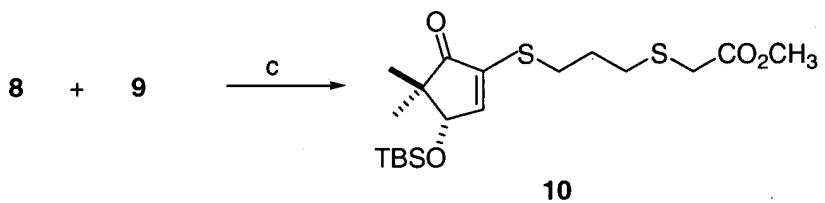
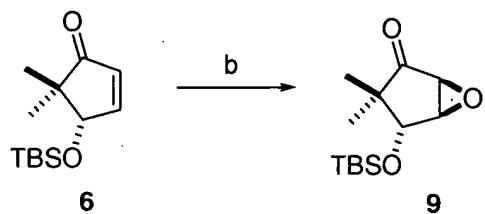
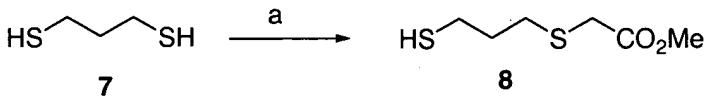


(a) KOH, MeI, dioxane/H₂O; (b) Baker's Yeast, D-glucose, H₂O; (c) TBSOTf,
2,6-lutidine, CH₂Cl₂; (d) LDA, THF; PhSeCl; (e) 30% H₂O₂, CH₂Cl₂.

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Fig. 3

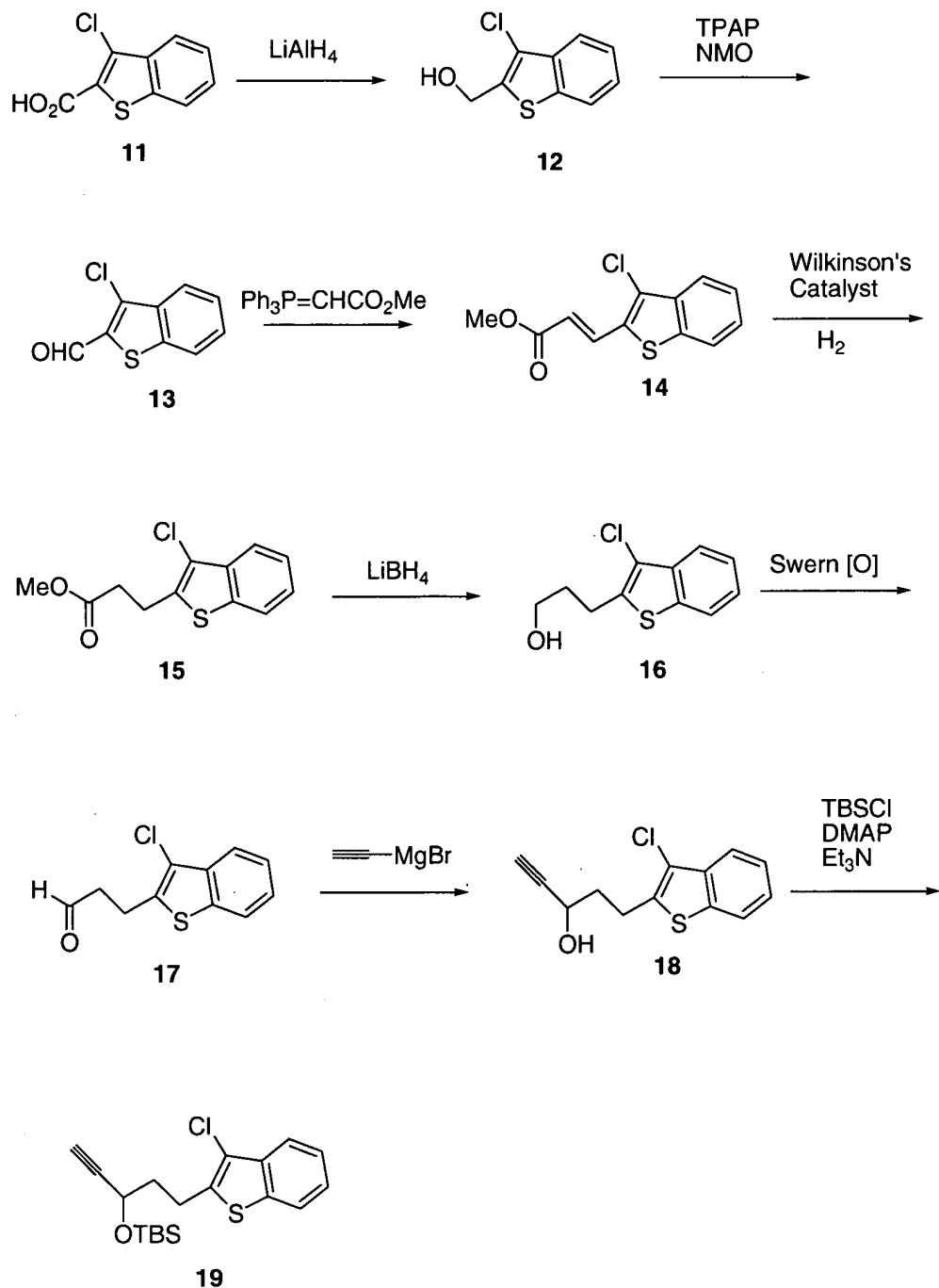


(a) NaH, BrCH₂CO₂CH₃; (b) H₂O₂, NaOH, MeOH; (c) basic Alumina, CH₂Cl₂.

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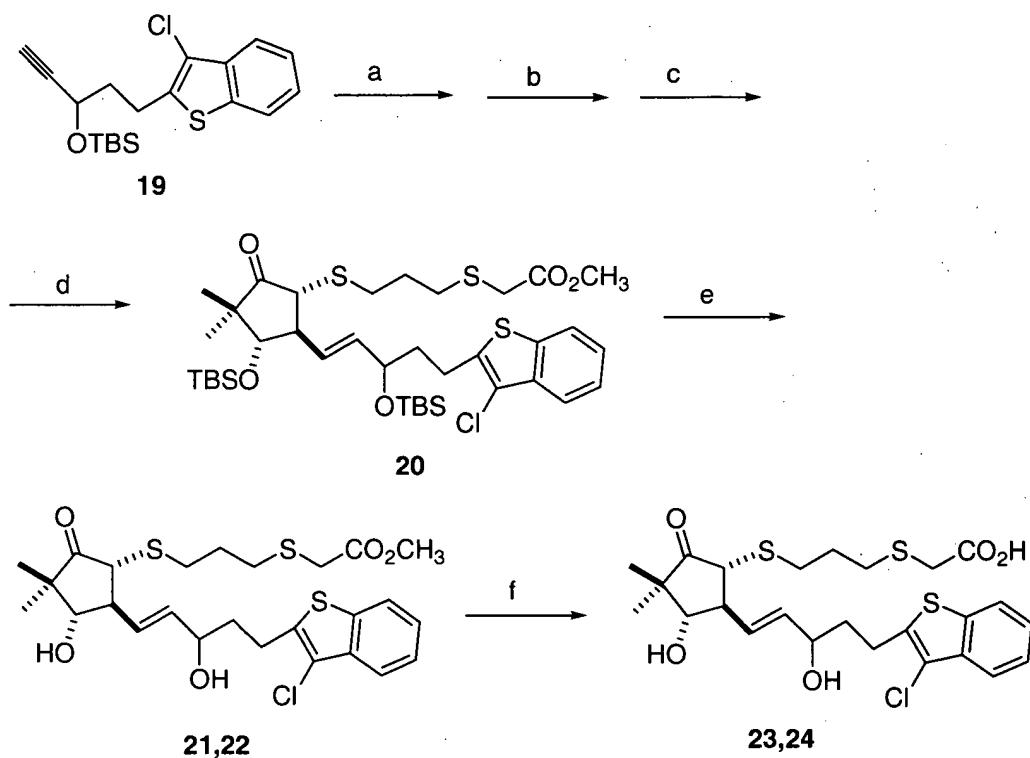
Fig. 4



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Fig. 5

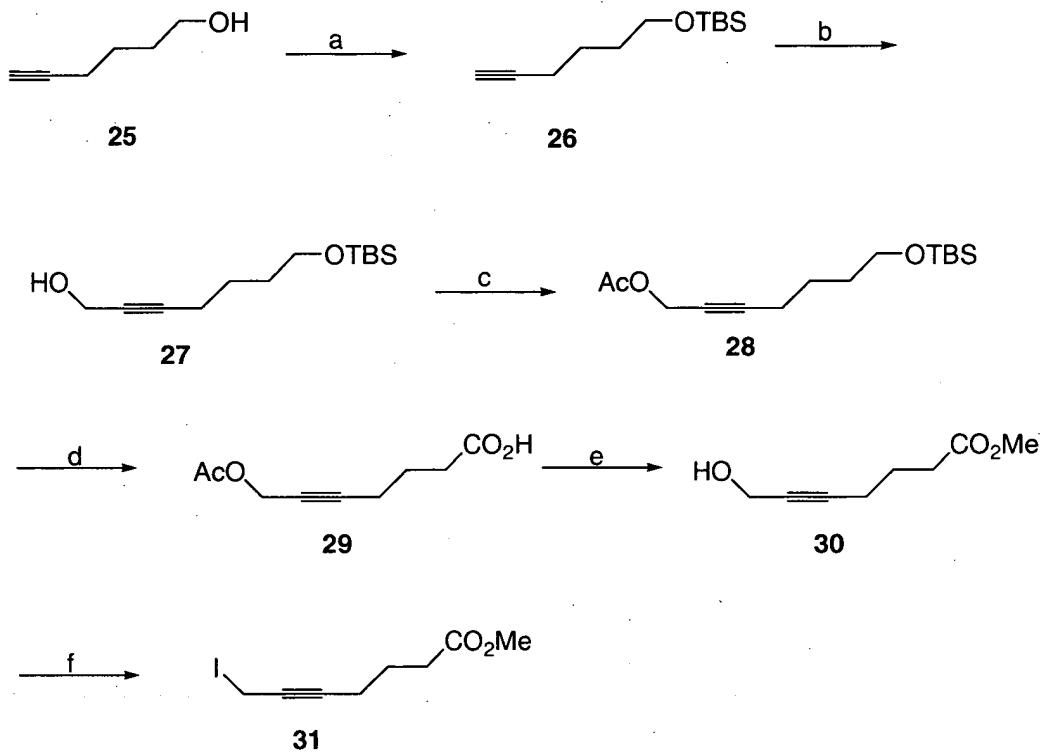


(a) Cp_2ZrHCl , THF; (b) MeLi , Et_2O -78 °C; (c) lithium 2-thienycyanocuprate;
 (d) enone **10**, THF -78 °C; (e) HF -pyridine, CH_3CN ; separate diastereomers
 (i) rabbit liver esterase, phosphate buffer, CH_3CN .

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Fig. 6



(a) TBSCl, etc.; (b) *n*-BuLi; DMF; (c) Ac₂O, pyridine; (d) Jones oxidation; (e) MeOH, AcCl;
(f) PPh₃, I₂, imidazole, CH₂Cl₂.

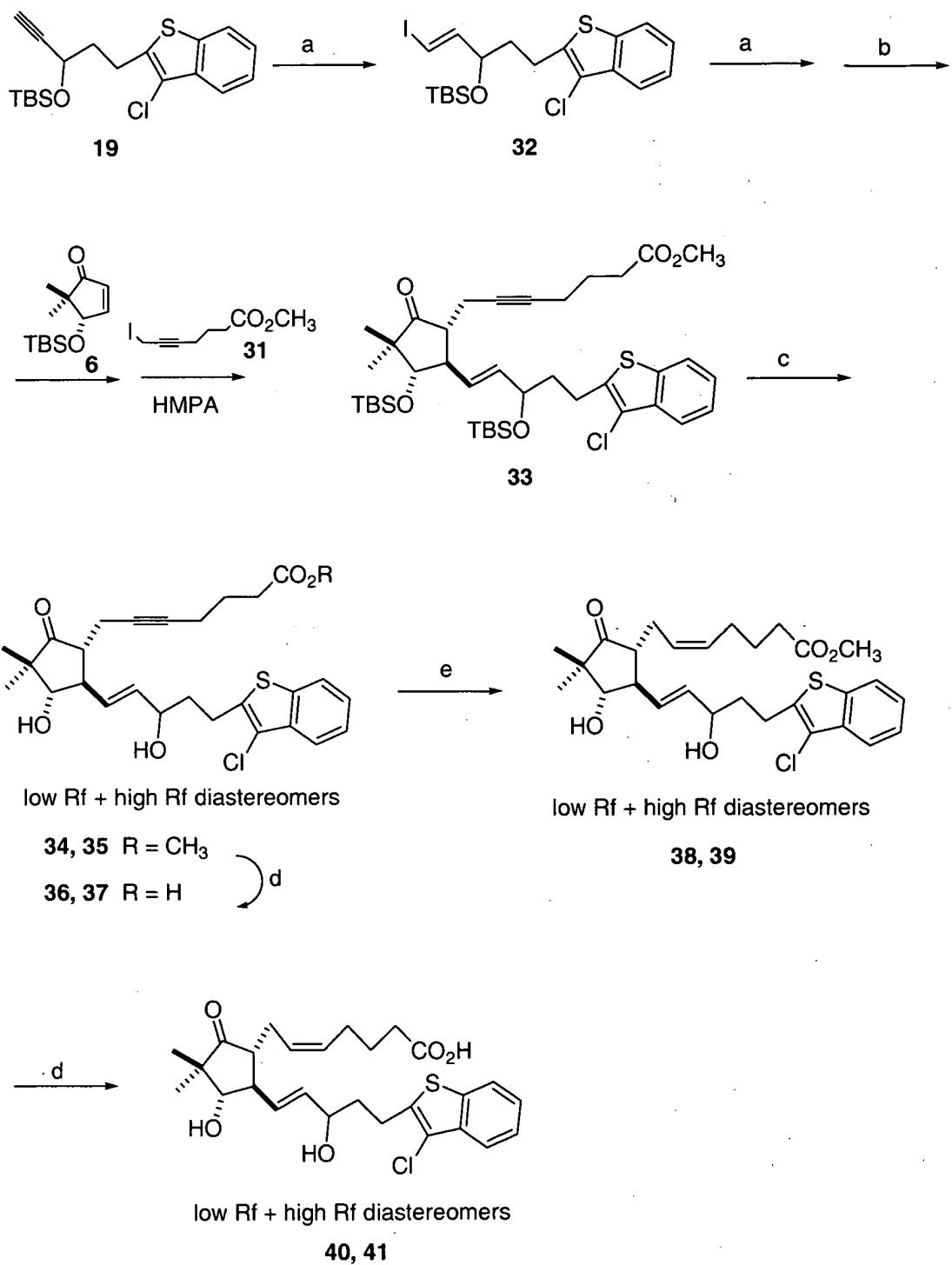
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Fig. 7

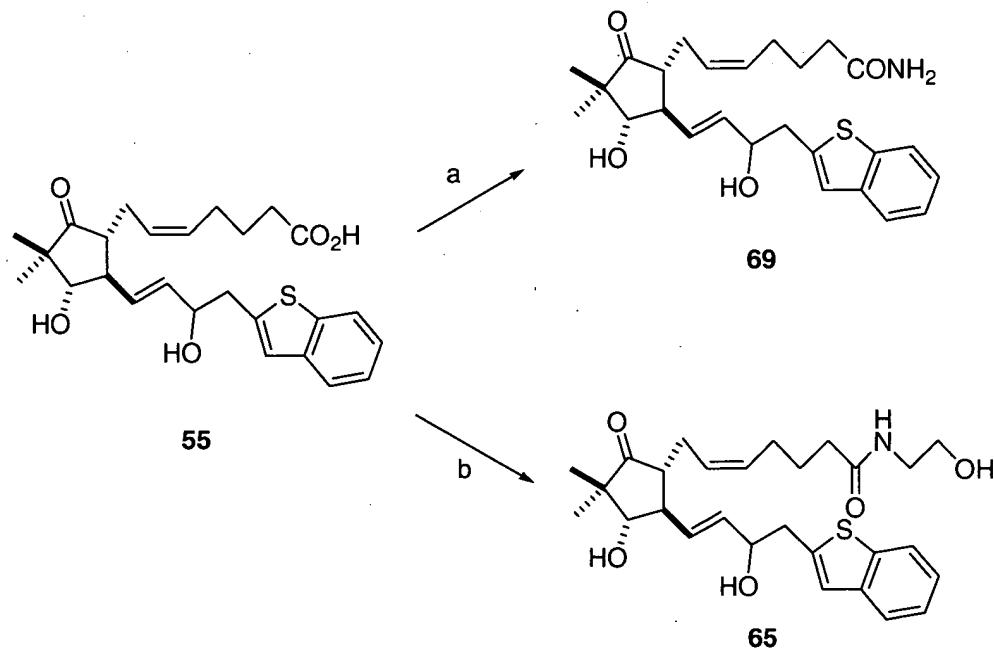


(a) $t\text{-BuLi}$, THF -78 °C; (b) Me_2Zn ; (c) HF-pyridine, CH_3CN ; separate diastereomers; (d) rabbit liver esterase, pH 7.2 phosphate buffer, CH_3CN ; (e) NiCl_2 , NaBH_4 , ethylenediamine, H_2 , THF;

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Fig. 8



- (a) $\text{ClCO}_2\text{CH}_2\text{CH}_3$, Et_3N , CH_2Cl_2 ; NH_4OH (aq);
(b) EDCI, N-hydroxysuccinimide, $\text{H}_2\text{NCH}_2\text{CH}_2\text{OH}$, DMF.